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New heterocyclic compounds - are specific dopamine D receptor blockers for treating e.g. schizophrenia e.g. 4-piperazinyl ethylamino tetrahydroquinazoline compounds

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Abstract (Basic): WO 9747601 A

Heterocyclic compounds of formula (I), their optical isomers and salts are new: X1-X2-X3 = NCR1N, CR1R2N, NCR1CR2, CR1NCR2 or N-NCR1; R1, R2 = H, alkyl, OH, NH2, arylalkyl, or optionally substituted aryl or heteroaryl; A = 1-4C alkylene substituted by R3; R3 = H, alkyl, OH, alkoxy, amino or alkylamino; Y = O, S, SO, SO2 or NR4; R4 = H, alkyl, aryl, heteroaryl, arylalkyl or acyl; B = 1-4C alkylene substituted by R3a; R3a = H, alkyl, OH, alkoxy, amino or alkylamino; Z = O, S, SO, SO2, NR5, CH(OH), CO or CH2; R5 = H, alkyl or arylalkyl; D = 1-8C alkylene; R = a group of e.g. formulae (i), (ii), (iv), (viii) or (ix); Q-T = CH2N, CH2CH or CH=C; R7 = H or alkyl; R8 = optionally substituted aromatic hydrocarbyl ring or heterocyclyl ring; R9 = H, alkyl, OH, alkoxy, 3-8C cycloalkyl, aryl or heteroaryl; in (ii) when Q-T = CH2N then R9 is not OH or alkoxy; R10 = alkyl, 3-8C cycloalkyl or optionally substituted aryl or heteroaryl; R11 = H, alkyl, 3-8C cycloalkyl or optionally substituted aryl or heteroaryl; R12 = alkyl, 3-8C cycloalkyl or optionally substituted aryl or heteroaryl; W = O, S or NR13; R13 = H, alkyl or optionally substituted aryl or heteroaryl; R14 = H, alkyl, alkoxy, CF3, alkylthio, alkylsulphinyl, alkylamino, OH, halo, acyl or NO2; Ar = aromatic or heteroaromatic ring.

USE - (I) are potent dopamine D4 receptor blockers and have high affinity for muscarine M1, serotonin-2 (5-HT2) and adrenalin alpha 1 and alpha 2 receptors. (I) are thus antipsychotic agents, useful for treating schizophrenia including positive symptoms such as hallucination and delusion and negative symptoms such as emotional torpidity, abulia and autism.

ADVANTAGE - (I) are selective towards D4 receptors and have reduced side effects such as extrapyramida symptoms and abnormal internal secretion seen with conventional antipsychotic agents.

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